EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	25	"0157863"	US-PGPUB; USPAT; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2006/09/06 15:42
L2	2	(("20040157863") or ("6894005") or ("20040063729") or ("20040127509") or ("7071334") or ("20040110751") or ("20040176398") or ("20040142943")).PN.	USPAT; USOCR	OR	OFF	2006/09/06 15:45
L3	8	(("20040157863") or ("6894005") or ("20040063729") or ("20040127509") or ("7071334") or ("20040110751") or ("20040176398") or ("20040142943")).PN.	US-PGPUB; USPAT; USOCR	OR	OFF	2006/09/06 15:45

10/540,040

Connecting via Winsock to STN

LOGINID:
ssptacmj1624

STNLOGON timed out

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Welcome to STN International! Enter x:x

LOGINID:ssptacmj1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:11:19 ON 06 SEP 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:11:53 ON 06 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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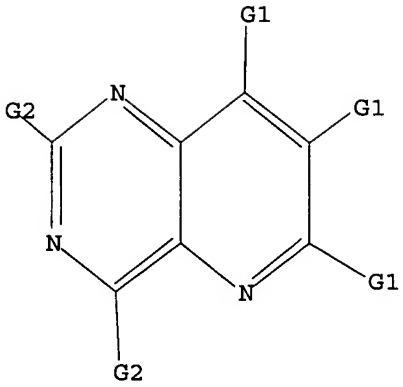
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10540040,1.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 X,Cy,Ak,H

G2 H,X,Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:12:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      349 TO ITERATE

100.0% PROCESSED      349 ITERATIONS                              13 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5860 TO     8100
PROJECTED ANSWERS:              44 TO      476

L2            13 SEA SSS SAM L1

=> d scan

L2   13 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN   Pyrido[3,2-d]pyrimidine, 6-(3,4-dimethoxyphenyl)-4-[4-(2-phenoxyethyl)-1-
     piperazinyl]- (9CI)
MF   C27 H29 N5 O3
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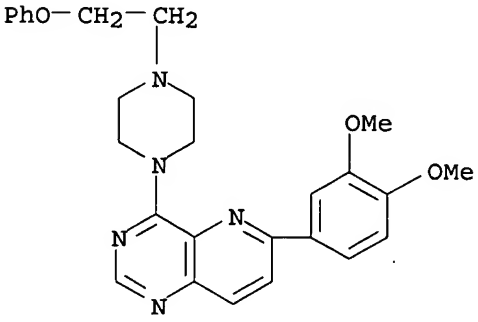
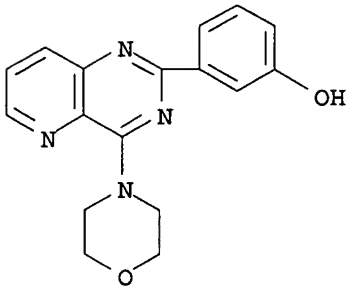


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

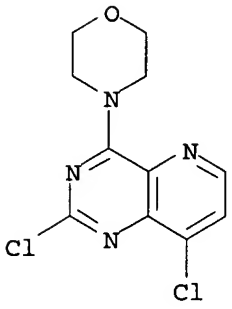
L2 13 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Phenol, 3-[4-(4-morpholinyl)pyrido[3,2-d]pyrimidin-2-yl]-,
    monohydrochloride (9CI)
MF C17 H16 N4 O2 . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 13 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Pyrido[3,2-d]pyrimidine, 2,8-dichloro-4-(4-morpholinyl)- (9CI)
MF C11 H10 Cl2 N4 O

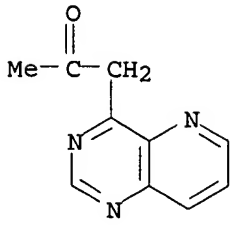


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):s l1 sss full
'S L1 SSS FULL' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "0", or "END".
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 13 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2-Propanone, 1-pyrido[3,2-d]pyrimidin-4-yl- (9CI)
MF C10 H9 N3 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):n

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.64	2.85

FILE 'CAPLUS' ENTERED AT 14:15:43 ON 06 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l2
L3 11 L2

=> d 11

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1972:501525 CAPLUS
DN 77:101525
TI Polyhalo aromatic compounds. XXIV. Reaction of (chloropyridyl)lithium compounds with nitriles as a route to triazanaphthalenes
AU Berry, D. J.; Cook, J. D.; Wakefield, B. J.
CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, UK
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (17), 2190-2
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal

```
LA   English


=> d 1-11

L3   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:656792  CAPLUS
DN   145:124598
TI   Preparation of pyrido(3,2-d)pyrimidines and use as immunosuppressive
     prodrugs
IN   De Jonghe, Steven Cesar Alfons; Dolusic, Eduard; Gao, Ling-Jie; Herdewijn,
     Piet Andre Maurits Maria; Pfleiderer, Wolfgang Eugen
PA   4 Aza Bioscience N. V., Belg.
SO   PCT Int. Appl., 186 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
PI   WO 2006069805         A2    20060706    WO 2005-EP14187         20051229
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRAI GB 2004-28475         A     20041230
     US 2005-693899P       P     20050624

L3   ANSWER 2 OF 11  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:212954  CAPLUS
DN   144:292753
TI   Preparation of pyridine methylene thioxothiazolidinones as
     phosphoinositide inhibitors
IN   Rueckle, Thomas; Quattropani, Anna; Pomel, Vincent; Dorbais, Jerome;
     Covini, David; Bischoff, Alexander
PA   Applied Research Systems Ars Holding N.V., Neth. Antilles
SO   PCT Int. Appl., 97 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
PI   WO 2006024666         A1    20060309    WO 2005-EP54339         20050902
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
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PRAI EP 2004-104259 A 20040903
US 2004-607374P P 20040903
OS MARPAT 144:292753
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:205975 CAPLUS
DN 142:197902
TI Product class 19: pyridopyrimidines
AU Sako, M.
CS Germany
SO Science of Synthesis (2004), 16, 1155-1267
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
RE.CNT 929 THERE ARE 929 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:816643 CAPLUS
DN 135:344500
TI Preparation of condensed heteroaryl derivatives as phosphatidylinositol 3-kinase inhibitors and anticancer agents
IN Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta, Mitsuaki
PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer Research Technology Ltd.
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001083456	A1	20011108	WO 2001-JP3650	20010426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407593	AA	20011108	CA 2001-2407593	20010426
AU 2001052610	A5	20011112	AU 2001-52610	20010426
US ~~2002151544~~	A1	20021017	US 2001-843615	20010426
US 6608053	B2	20030819		
EP 1277738	A1	20030122	EP 2001-925981	20010426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3649395	B2	20050518	JP 2001-580885	20010426
CN 1629145	A	20050622	CN 2004-10055760	20010426
US 6608056	B1	20030819	US 2002-243416	20020913
US 2003236271	A1	20031225	US 2003-459002	20030610
US 6838457	B2	20050104		
US 2004009978	A1	20040115	US 2003-459220	20030610
US 6770641	B2	20040803		
US 2005014771	A1	20050120	US 2004-918094	20040813
US 7037915	B2	20060502		
JP 2005120102	A2	20050512	JP 2004-332225	20041116

	JP 3810017	B2	20060816		
	US 2006058321	A1	20060316	US 2005-250782	20051014
PRAI	JP 2000-128472	A	20000427		
	US 2000-200537P	P	20000427		
	US 2000-200481P	P	20000428		
	JP 2001-580885	A3	20010426		
	US 2001-843615	A3	20010426		
	WO 2001-JP3650	W	20010426		
	US 2002-243416	A3	20020913		
	US 2003-459002	A1	20030610		
	US 2004-918094	A1	20040813		

OS MARPAT 135:344500
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1992:469814 CAPLUS
DN 117:69814
TI Synthesis of pyrido[3,2-d]pyrimidines and pyrido[3,2-d]-1,2,4-triazolo[4,5-a or 5,4-b]pyrimidines
AU Eisa, Hassan M.; Moustafa, Mohamed A.
CS Fac. Pharm., Univ. Mansoura, Mansoura, 35516, Egypt
SO Mansoura Journal of Pharmaceutical Sciences (1991), 7(3), 369-78
CODEN: MJPSEO; ISSN: 1110-1318
DT Journal
LA English
OS CASREACT 117:69814

L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1988:167514 CAPLUS
DN 108:167514
TI Preparation of pyrido[3.2-d]pyrimidines as blood platelet aggregation inhibitors and thrombolytics
IN Kihara, Noriaki; Tan, Hiroaki; Takei, Mitsusachi; Ishihara, Takabumi
PA Mitsui Petrochemical Industries, Ltd., Japan; Suntory, Ltd.
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62221686	A2	19870929	JP 1986-64756	19860325
	JP 07005594	B4	19950125		
PRAI	JP 1986-64756		19860325		

L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1974:82863 CAPLUS
DN 80:82863
TI 4-Chloropyrido [3,2-d]pyrimidine and 4-hydrazinopyrido[3.2-d]- and -[2.3-d]pyrimidines
AU Godefroy, Lionel; Queguiner, Guy; Pastour, Paul
CS Inst. Natl. Super. Chim. Rouen, Mont-Saint-Aignan, Fr.
SO Comptes Rendus des Seances de l'Academie des Sciences, Serie B: Sciences Physiques (1973), 277(16), 703-6
CODEN: CHDBAN; ISSN: 0366-6077
DT Journal
LA French

L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:526517 CAPLUS
DN 79:126517
TI Pyrido[3,2-d]pyrimidines
IN Nickl, Josef; Mueller, Erich; Narr, Berthold; Roch, Josef

PA Thomae, Dr. Karl, G.m.b.H.
SO Ger. Offen., 19 pp. Addn. to Ger. Offen 2,202,367.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2208535	A1	19730830	DE 1972-2208535	19720223
	SU 474984	D	19750625	SU 1972-1770523	19720404
	SU 484688	D	19750915	SU 1972-1967174	19720404
	US 3843638	A	19741022	US 1972-241791	19720406
	DD 97204	C	19730423	DD 1972-162145	19720407
	AT 319252	B	19741210	AT 1972-3013	19720407
	AT 319256	B	19741210	AT 1973-10213	19720407
	GB 1382487	A	19750205	GB 1972-16212	19720407
	CA 992081	A1	19760629	CA 1972-139149	19720407
	PL 84565	P	19760430	PL 1972-175258	19720408
	PL 84559	P	19760430	PL 1972-175259	19720408
	BE 781900	A1	19721010	BE 1972-116140	19720410
	NL 7204783	A	19721012	NL 1972-4783	19720410
	HU 164346	P	19740128	HU 1972-TO872	19720410
	IL 39174	A1	19750210	IL 1972-39174	19720410
	AU 7241038	A1	19731018	AU 1972-41038	19720412
	ES 405213	A1	19760101	ES 1972-405213	19720727
	SU 515450	D	19760525	SU 1973-1967381	19731102
	US 3939268	A	19760217	US 1974-503073	19740904
PRAI	DE 1971-2117657	A	19710410		
	DE 1972-2202367	A	19720119		
	DE 1972-2208524	A	19720223		
	DE 1972-2208534	A	19720223		
	DE 1972-2208535	A	19720223		
	US 1972-241791	A3	19720406		

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:515621 CAPLUS
DN 79:115621
TI Piperazinylthiomorpholinopyrido[3,2-d]pyrimidines
IN Nickl, Josef; Mueller, Erich; Narr, Berthold; Roch, Josef
PA Thomae, Dr. Karl, G.m.b.H.
SO Ger. Offen., 8 pp. Addn. to Ger. Offen. 2,117,657 (CA 78;29811n).
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2202367	A1	19730802	DE 1972-2202367	19720119
	SU 484688	D	19750915	SU 1972-1967174	19720404
	DD 97204	C	19730423	DD 1972-162145	19720407
	AT 319256	B	19741210	AT 1973-10213	19720407
	GB 1382487	A	19750205	GB 1972-16212	19720407
	CA 992081	A1	19760629	CA 1972-139149	19720407
	PL 84559	P	19760430	PL 1972-175259	19720408
	BE 781900	A1	19721010	BE 1972-116140	19720410
	NL 7204783	A	19721012	NL 1972-4783	19720410
	HU 164346	P	19740128	HU 1972-TO872	19720410
	IL 39174	A1	19750210	IL 1972-39174	19720410
	AU 7241038	A1	19731018	AU 1972-41038	19720412
	ES 405214	A1	19760101	ES 1972-405214	19720727
PRAI	DE 1971-2117657	A	19710410		
	DE 1972-2202367	A	19720119		
	DE 1972-2208534	A	19720223		
	DE 1972-2208535	A	19720223		

L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:29811 CAPLUS
DN 78:29811
TI Pyrido[3,2-d]pyrimidines
IN Nickl, Josef; Mueller, Erich; Narr, Berthold; Roch, Josef
PA Thomae, Dr. Karl, G.m.b.H.
SO Ger. Offen., 37 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2117657	A	19721019	DE 1971-2117657	19710410
	DE 2117657	B2	19760408		
	SU 474984	D	19750625	SU 1972-1770523	19720404
	US 3843638	A	19741022	US 1972-241791	19720406
	DD 97204	C	19730423	DD 1972-162145	19720407
	AT 319252	B	19741210	AT 1972-3013	19720407
	GB 1382487	A	19750205	GB 1972-16212	19720407
	CA 992081	A1	19760629	CA 1972-139149	19720407
	ES 401590	A1	19750216	ES 1972-401590	19720408
	PL 83548	P	19751231	PL 1972-154619	19720408
	PL 84566	P	19760430	PL 1972-175257	19720408
	PL 84565	P	19760430	PL 1972-175258	19720408
	BE 781900	A1	19721010	BE 1972-116140	19720410
	NL 7204783	A	19721012	NL 1972-4783	19720410
	FR 2132838	A5	19721124	FR 1972-12538	19720410
	FR 2132838	B1	19751010		
	ZA 7202416	A	19731219	ZA 1972-2416	19720410
	HU 164346	P	19740128	HU 1972-TO872	19720410
	IL 39174	A1	19750210	IL 1972-39174	19720410
	ES 405212	A1	19760101	ES 1972-405212	19720727
	ES 405213	A1	19760101	ES 1972-405213	19720727
	SU 492089	D	19751115	SU 1973-1967382	19731102
	SU 515450	D	19760525	SU 1973-1967381	19731102
	US 3939268	A	19760217	US 1974-503073	19740904
PRAI	DE 1971-2117657	A	19710410		
	DE 1972-2202367	A	19720119		
	DE 1972-2208524	A	19720223		
	DE 1972-2208534	A	19720223		
	DE 1972-2208535	A	19720223		
	DE 1972-2209535	A	19720223		
	US 1972-241791	A3	19720406		

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1972:501525 CAPLUS
DN 77:101525
TI Polyhalo aromatic compounds. XXIV. Reaction of (chloropyridyl)lithium compounds with nitriles as a route to triazanaphthalenes
AU Berry, D. J.; Cook, J. D.; Wakefield, B. J.
CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, UK
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (17), 2190-2
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English

=> d his

(FILE 'HOME' ENTERED AT 14:11:19 ON 06 SEP 2006)

```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssptacmj1624

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 14:45:31 ON 06 SEP 2006
FILE 'CAPLUS' ENTERED AT 14:45:31 ON 06 SEP 2006
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    77.30      80.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -9.00      -9.00

=>
Uploading C:\Program Files\Stnexp\Queries\10540040,2.str

L4      STRUCTURE UPLOADED

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    77.76      80.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -9.00      -9.00

FILE 'REGISTRY' ENTERED AT 14:46:10 ON 06 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    5 SEP 2006  HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES:   5 SEP 2006  HIGHEST RN 905905-44-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
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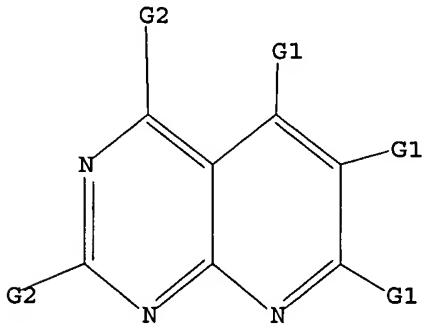
Uploading C:\Program Files\Stnexp\Queries\10540040,2.str

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 H,X,Cy,Ak

G2 H,X,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l5 sss sam
SAMPLE SEARCH INITIATED 14:46:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 812 TO ITERATE

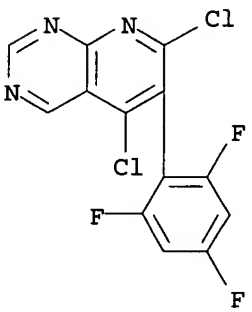
100.0% PROCESSED 812 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14531 TO 17949
PROJECTED ANSWERS: 7 TO 298

L6 7 SEA SSS SAM L5

=> d scan

L6 7 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Pyrido[2,3-d]pyrimidine, 5,7-dichloro-6-(2,4,6-trifluorophenyl)- (9CI)
MF C13 H4 Cl2 F3 N3

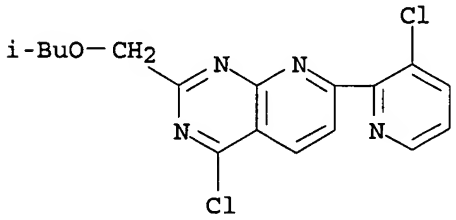


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):s l5 sss full
'S L5 SSS FULL' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "0", or "END".
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 7 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Pyrido[2,3-d]pyrimidine, 4-chloro-7-(3-chloro-2-pyridinyl)-2-[(2-methylpropoxy)methyl]- (9CI)
MF C17 H16 Cl2 N4 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.32	81.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.00

FILE 'CAPLUS' ENTERED AT 14:47:43 ON 06 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d scan
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	82.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.00

FILE 'CAPLUS' ENTERED AT 14:48:12 ON 06 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:48:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 812 TO ITERATE

100.0% PROCESSED 812 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:	ONLINE	**COMPLETE**
	BATCH	**COMPLETE**
PROJECTED ITERATIONS:	14531 TO	17949
PROJECTED ANSWERS:	7 TO	298

L7 7 SEA SSS SAM L5

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L8          14 L7

=> d scan

L8    14 ANSWERS   CAPLUS  COPYRIGHT 2006 ACS on STN
IC    ICM  C07D471-04
      ICS  A01N025-32
CC    28-20 (Heterocyclic Compounds (More Than One Hetero Atom))
      Section cross-reference(s): 5
TI    Substituted pyrido[2,3-d]pyrimidines as herbicide antidotes
ST    herbicide antidote pyridopyrimidine prepn; corn wheat rice barley
      pyridopyrimidine herbicide
IT    Herbicide antidotes
         (pyrido[2,3-d]pyrimodines)
IT    Corn
      Rice
      Wheat
         (pyrido[2,3-d]pyrimodines as herbicide antidotes for)
IT    Herbicides
         (pyrido[2,3-d]pyrimodines for)
IT    139001-19-7P   139001-20-0P   139001-21-1P   139001-22-2P   139001-23-3P
      139001-83-5P
      RL: SPN (Synthetic preparation); PREP (Preparation)
         (preparation of)
IT    23126-70-7P   54595-53-8P   54595-54-9P   54595-55-0P   54595-56-1P
      54595-57-2P   55635-13-7P   74051-80-2P   76574-53-3P   76574-54-4P
      76574-55-5P   76574-57-7P   76574-60-2P   76574-65-7P   76574-67-9P
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      91996-80-4P   93263-05-9P   94584-55-1P   95453-44-4P   95769-05-4P
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138734-08-4P 138734-09-5P 138734-10-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)

IT 138734-11-9P 138734-12-0P 138734-13-1P 138734-14-2P 138734-15-3P
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138974-20-6P 138974-21-7P 138974-22-8P 138974-23-9P 138974-24-0P
138974-26-2P 138974-27-3P 138974-28-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)

IT 138974-29-5P 138974-30-8P 138974-31-9P 138974-32-0P 138974-33-1P
138974-34-2P 138974-35-3P 138974-36-4P 138974-37-5P 138974-38-6P
138974-39-7P 138974-40-0P 138974-41-1P 138974-42-2P 138974-43-3P
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RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)

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RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)

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RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)

IT 73-68-7 88-15-3, 2-Acetylthiophene 105-56-6, Ethyl cyanoacetate 403-42-9 459-22-3, (4-Fluorophenyl)acetonitrile 2850-19-3 2947-61-7, (4-Methylphenyl)acetonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for arylpyrido[2,3-d]pyrimodine (herbicide antidote))

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:318893 CAPLUS
DOCUMENT NUMBER: 144:370118
TITLE: Preparation of pyrido[2,3-d]pyrimidine derivatives as inhibitors of Akt activity for treatment of cancer
INVENTOR(S): Bilodeau, Mark T.; Cosford, Nicholas D. P.; Hartnett, John C.; Liang, Jun; Manley, Peter J.; Neilson, Lou Anne; Siu, Tony; Wu, Zhicai; Li, Yiwei
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006036395	A2	20060406	WO 2005-US29941	20050819

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-603728P P 20040823
OTHER SOURCE(S): MARPAT 144:370118
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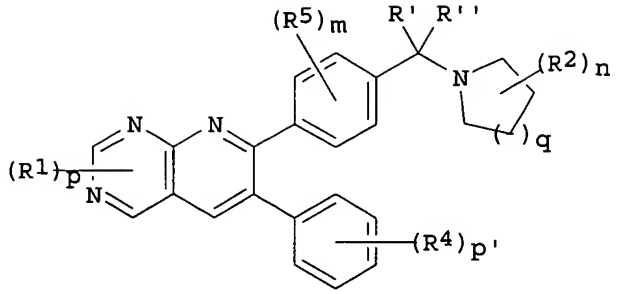

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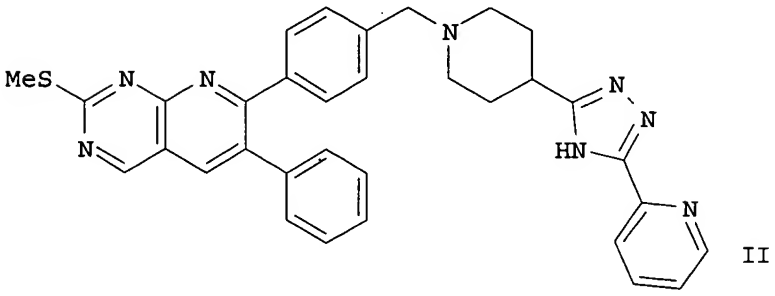


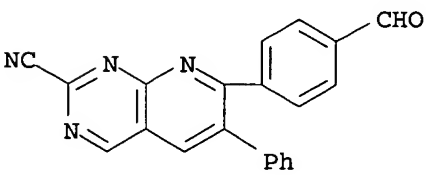
II

AB The title compds. I [wherein m = 0-4; n = 0-5; p = 0-3; q = 0-4; p' = 0-5; R1 = halo, oxo, OH, CN, etc.; R2, R4, and R5 = independently CN, CF3, NO2, etc.; R' and R'' = independently H, alkyl, or perfluoroalkyl; or R' and R'' form a ring; with provisos] or pharmaceutically acceptable salts or stereoisomers thereof were prepared as inhibitors of the activity of Akt, which is a serine/threonine protein kinase. For example, the compound II was prepared in a multi-step synthesis. I are useful for the treatment of cancer (no data).

IT 867353-48-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrido[2,3-d]pyrimidine derivs. as inhibitors of Akt activity for treatment of cancer)

RN 867353-48-8 CAPLUS

CN Pyrido[2,3-d]pyrimidine-2-carbonitrile, 7-(4-formylphenyl)-6-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1154555 CAPLUS

DOCUMENT NUMBER: 143:440429

TITLE: Preparation of pyridopyrimidines and naphthyridines as inhibitors of Akt kinase for the treatment of cancer.

INVENTOR(S): Bilodeau, Mark T.; Chen, Chixu; Cosford, Nicholas D. P.; Eastman, Brian W.; Hartnett, John C.; Hu, Essa H.; Manley, Peter J.; Neilson, Lou Anne; Tehrani, Lida R.; Wu, Zhicai

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; et al.

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100356	A1	20051027	WO 2005-US11561	20050405

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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PRIORITY APPLN. INFO.: US 2004-561194P P 20040409
OTHER SOURCE(S): MARPAT 143:440429
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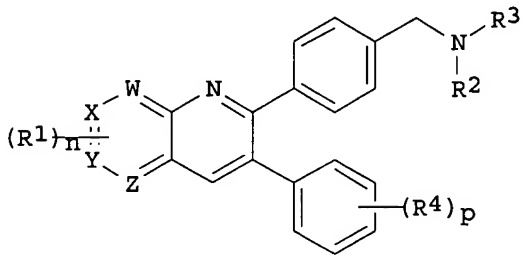
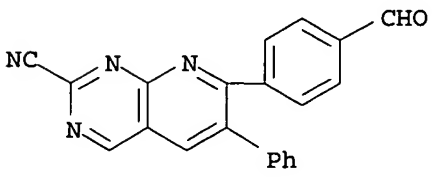


I

AB Title compds. I [the fused bicyclic portion = naphthyridine, pyridopyrimidine, etc.; n = 0-6; p = 0-5; R1-4 = H, carboxy, alkoxy, aryloxy, etc.] are prepared For instance, 3-[[4-[2-(methylsulfanyl)-6-phenylpyrido[2,3-d]pyrimidin-7-yl]benzyl]amino]-1-phenylpropan-1-one is prepared in 5 steps from 4-amino-5-hydroxymethyl-2-(methylsulfanyl)pyrimidine, Me phenylacetate, 4-formylphenylboronic acid and 3-oxo-3-phenylpropylamine·HCl. Compds. of the invention exhibit IC50 ≤ 50 μM against Akt kinase. I are useful for the treatment of cancer.

IT 867353-48-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridopyrimidines and naphthyridines as inhibitors of Akt kinase for treatment of cancer)

RN 867353-48-8 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2-carbonitrile, 7-(4-formylphenyl)-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:238986 CAPLUS
DOCUMENT NUMBER: 142:316855
TITLE: Substituted bicyclic quinazolin-4-ylamine derivatives as capsaicin receptor modulators
INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.; Chenard, Bertrand L.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023807	A2	20050317	WO 2004-US29583	20040909
WO 2005023807	A3	20050421		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004270740	A1	20050317	AU 2004-270740	20040909
CA 2537883	AA	20050317	CA 2004-2537883	20040909
EP 1678173	A2	20060712	EP 2004-783712	20040909
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.:

US 2003-501515P	P	20030909
US 2003-515984P	P	20031031
WO 2004-US29583	W	20040909

OTHER SOURCE(S): MARPAT 142:316855
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

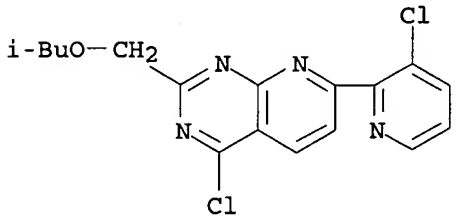
AB Title compds. I [wherein B, V, X, Y, W, Z = independently N, CR1, such that at least one of V and X is N; D = N, CR9; either EF forms an (un)substituted fused 5- to 7-membered carbocylyl, or heterocyclyl; and A = N, CR1 with proviso; or AF forms an (un)substituted fused 5- to 7-membered carbocylyl, or heterocyclyl; and E = N, CR9; each R1 = independently H, halo, OH, CN, NH2, NO2, CO2H and derivs., etc.; each R9 = independently H, halo, OH, CN, alkylsulfonyl, alkylsulfonamido, etc.; U = N, CR2, with the proviso that if V and X are both N, then U = CR2; R2 = H, halo, CN, CO2H, etc.; Ar = (un)substituted 5- to 10-membered aromatic carbocycles or heterocycles, such that Ar is not thiophene; and their pharmaceutically acceptable salts], useful for treating conditions related to capsaicin receptor activation, were prepared I modulate, preferably inhibit binding of vanilloid ligand to VR1 activation capsaicin receptor VR1 (vanilloid receptor subtype 1), exhibit no detectable agonist activity in an in vitro assay of capsaicin receptor agonism, show IC50 of ≤1

μM in a capsaicin receptor calcium mobilization assay, and reduce calcium conductance of a cellular capsaicin receptor. Radiolabeled compds. I are used for determining the presence or absence of capsaicin receptor in a sample in receptor localization studies. A 7-step synthesis is given for title compound II•HCl (no data for the intermediates).

IT 848047-46-1, 4-Chloro-2-(isobutoxymethyl)-7-(3-chloropyridin-2-yl)pyrido[2,3-d]pyrimidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclic quinazolin-4-ylamine derivs. as type VR1 capsaicin receptor modulators)

RN 848047-46-1 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 4-chloro-7-(3-chloro-2-pyridinyl)-2-[(2-methylpropoxy)methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:99502 CAPLUS

DOCUMENT NUMBER: 142:198091

TITLE: Preparation of pyridopyridines and pyridopyrimidines as agrochemical fungicides.

INVENTOR(S): Wagner, Oliver; Grote, Thomas; Blettner, Carsten; Gewehr, Markus; Grammenos, Wassilios; Gypser, Andreas; Mueller, Bernd; Rheinheimer, Joachim; Schaefer, Peter; Schieweck, Frank; Schwoegler, Anja; Tormo, I. Blasco Jordi; Akers, Alan; Speakman, John-Bryan; Rack, Michael; Stierl, Reinhard; Scherer, Maria; Strathmann, Siegfried; Schoefl, Ulrich

PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

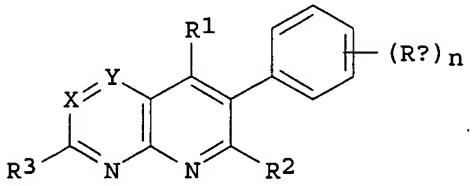
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010000	A2	20050203	WO 2004-EP7924	20040715
WO 2005010000	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004259269	A1	20050203	AU 2004-259269	20040715
CA 2532917	AA	20050203	CA 2004-2532917	20040715

EP 1648890 A2 20060426 EP 2004-763272 20040715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
CN 1826341 A 20060830 CN 2004-80020751 20040715
US 2006160811 A1 20060720 US 2006-563222 20060104
PRIORITY APPLN. INFO.: DE 2003-10332790 A 20030718
WO 2004-EP7924 W 20040715
OTHER SOURCE(S): MARPAT 142:198091
GI



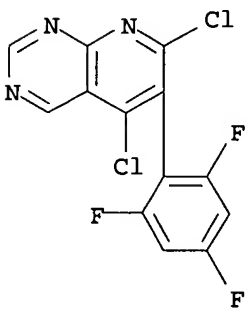
I

AB Title compds. [I; X, Y = N, CR4; n = 1-5; Ra = halo, cyano, alkyl, alkoxy, halogenalkyl, halogenalkoxy, alkenyl, alkenyloxy, COR5; R1, R2 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, halo, OR6, SR6, NR7R8, (halo- and/or alkyl-substituted) cycloalkyl, cycloalkenyl; R3 = H, alkyl, halogenalkyl, cycloalkyl, optionally mono- or polysubstituted by alkyl and/or halo; R4 = H, halo, alkyl, haloalkyl, (alkyl and/or halo-substituted)cycloalkyl; R5 = H, OH, alkyl, alkoxy, haloalkyl, haloalkoxy, etc.; R6 = H, alkyl, haloalkyl, (substituted) phenylalkyl; R7, R8 = H, alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, heterocyclyl, etc.; R7R8N = atoms to form a 5-7 membered ring], were prepared Thus, Et 2,4,6-trifluoroacetate and Et 4-aminopyrimidine-5-carboxylate were heated together with NaOEt at 130° with distillation of EtOH to give 30% 6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidin-5,7-diol. This was heated with POCl3 and PCl5 at 130° for 8 h to give 95% 5,7-dichloro-6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidine. The latter at 250 ppm reduced incidence of Leptosphaeria nodorum infection on wheat to 3%, vs 80% for untreated controls.

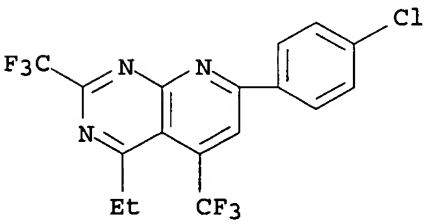
IT 714975-56-1P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridopyridines and pyridopyrimidines as agrochem. fungicides)

RN 714975-56-1 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 5,7-dichloro-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1081325 CAPLUS
DOCUMENT NUMBER: 142:198012
TITLE: Synthesis of novel 5-trifluoromethyl-2,4,7-trisubstituted pyrido[2,3-d]pyrimidines
AUTHOR(S): Ravikanth, S.; Reddy, G. Venkat; Maitraie, D.; Rao, V. Rama; Rao, P. Shanthan; Narsaiah, B.
CORPORATE SOURCE: Organic Division-II, Indian Institute of Chemical Technology, Hyderabad, 500 007, India
SOURCE: Synthetic Communications (2004), 34(24), 4463-4469
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:198012
AB Novel pyrido'[2,3-d]pyrimidines were synthesized by reacting 2-amino-3-cyano-4-trifluoromethyl-6-substituted pyridines with Grignard reagent followed by condensation with anhydride/chloroacetyl chloride/aromatic aldehyde.
IT 836682-48-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-trifluoromethyl-2,4,7-trisubstituted pyrido[2,3-d]pyrimidines by cyclization of 2-amino-3-cyano-4-trifluoromethyl-6-substituted pyridines with Grignard reagent)
RN 836682-48-5 CAPLUS
CN Pyrido[2,3-d]pyrimidine, 7-(4-chlorophenyl)-4-ethyl-2,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:546508 CAPLUS
DOCUMENT NUMBER: 141:89106
TITLE: A preparation of pyridopyrimidine derivatives, useful as plant fungicides
INVENTOR(S): Crowley, Patrick Jelf; Dobler, Markus; Mueller, Urs; Williams, John
PATENT ASSIGNEE(S): Syngenta Limited, UK; Syngenta Participations Ag
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

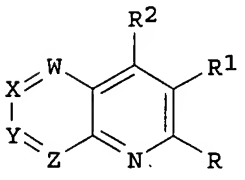
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056826	A1	20040708	WO 2003-GB5273	20031204

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2508658	AA	20040708	CA 2003-2508658		20031204
AU 2003288418	A1	20040714	AU 2003-288418		20031204
EP 1575949	A1	20050921	EP 2003-780337		20031204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003017730	A	20051122	BR 2003-17730		20031204
CN 1732170	A	20060208	CN 2003-80107423		20031204
JP 2006516131	T2	20060622	JP 2004-561605		20031204
PRIORITY APPLN. INFO.:			GB 2002-30019	A	20021223
			WO 2003-GB5273	W	20031204

OTHER SOURCE(S): MARPAT 141:89106
GI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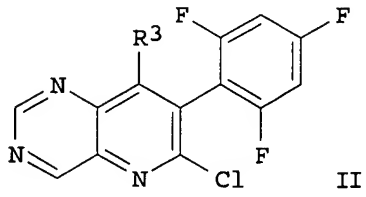
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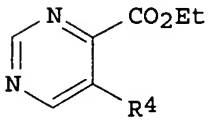
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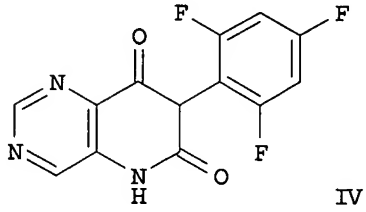
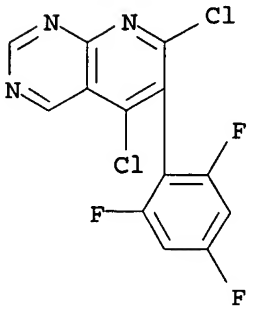

IV

AB The invention relates to a preparation of pyridopyrimidine derivs. of formula I [wherein: W and Y are both N and X and Z are both CH, C-halo, etc.; or X and Z are both N and W and Y are both CH, C-halo, etc.; R and R2 are independently H, halo, alkyl, or alkoxy, etc.; R1 is halo, alkyl, or alk(en/yn)yl, etc.], useful as plant fungicides. For instance, pyridopyrimidine derivs. II (R3 = i-PrNH; > 60% control of disease, pyricularia oryzae) was prepared via amidation of 2,4,6-trifluorophenylacetyl chloride by the obtained intermediate aminopyrimidine derivative III (R4 = NH2), heterocyclization of the obtained acetylaminopyrimidine III [R4 = 2-(2,4,6-trifluorophenyl)acetylamino], chlorination/aromatization of the obtained dioxopyridopyrimidine derivative IV, and subsequent amination of the obtained dichloropyridopyrimidine derivative II (R3 = Cl) by i-PrNH2 (example 1).

IT 714975-56-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fungicidal pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

RN 714975-56-1 CAPLUS
CN Pyrido[2,3-d]pyrimidine, 5,7-dichloro-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:539266 CAPLUS
DOCUMENT NUMBER: 127:220667
TITLE: Preparation of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family
INVENTOR(S): Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert Frederick; Rewcastle, Gordon William; Thompson, Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 55 pp., Cont.-in-part of U.S. Ser. No. 186,735, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5654307	A	19970805	US 1994-358351	19941223
IL 112249	A1	20011125	IL 1995-112249	19950104
ZA 9500440	A	19951010	ZA 1995-440	19950119
ZA 9500441	A	19951010	ZA 1995-441	19950119
CA 2177372	AA	19950727	CA 1995-2177372	19950123
WO 9519774	A1	19950727	WO 1995-US941	19950123
W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9517314	A1	19950808	AU 1995-17314	19950123
AU 686334	B2	19980205		
EP 742717	A1	19961120	EP 1995-909316	19950123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1139383	A	19970101	CN 1995-191310	19950123
CN 1139430	A	19970101	CN 1995-191318	19950123
JP 09508127	T2	19970819	JP 1995-519732	19950123
PL 179132	B1	20000731	PL 1995-315633	19950123
MD 1632	F2	20010331	MD 1996-217	19950123
RO 117257	B1	20011228	RO 1996-1517	19950123
NZ 281011	A	20020201	NZ 1995-281011	19950123
CN 1493291	A	20040505	CN 2003-2003122035	19950123
BG 63245	B1	20010731	BG 1996-100614	19960520
FI 9602856	A	19960925	FI 1996-2856	19960715

FI 114213	B1	20040915			
NO 9603094	A	19960724	NO 1996-3094		19960724
NO 309892	B1	20010417			
US 6084095	A	20000704	US 1997-811797		19970306
US 6521620	B1	20030218	US 1998-183190		19981030
US 6265410	B1	20010724	US 1998-191163		19981113
US 2001027197	A1	20011004	US 2001-824606		20010402
US 6455534	B2	20020924			
US 2003186987	A1	20031002	US 2002-201808		20020724
US 6713484	B2	20040330			
FI 2004000648	A	20040507	FI 2004-648		20040507
FI 2004000649	A	20040507	FI 2004-649		20040507
PRIORITY APPLN. INFO.:			US 1994-186735	B2	19940125
			US 1994-186745	B2	19940125
			US 1994-358351	A	19941223
			WO 1995-US941	W	19950123
			US 1997-811797	A1	19970306
			US 1998-183190	A1	19981030
			US 1998-191163	A3	19981113

OTHER SOURCE(S): MARPAT 127:220667

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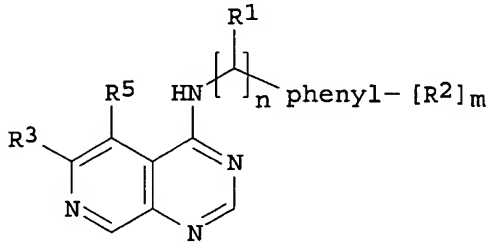

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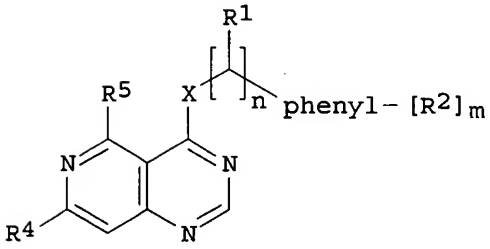

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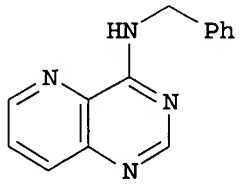


III

AB The title compds. [I and II; X = NH, NR7 (wherein R7 = C1-4 alkyl, OH, NH2, etc.); n = 0-2; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, etc.; m = 0-3; R3-R5 = H, C1-4 alkyl, C3-8 cycloalkyl, etc.], inhibitors of epidermal growth factor receptor family of tyrosine kinase which are useful in treating proliferative diseases such as cancer, synovial pannus invasion in arthritis, psoriasis, vascular restenosis and angiogenesis and addnl. useful in the treatment of pancreatitis and kidney disease as well as a contraceptive agent, were prepared Thus, reaction of freshly prepared 4-chloropyrido[3,2-d]pyrimidine with PhCH2NH2 in iPrOH containing a trace of concentrate HCl afforded 77% III which showed IC50 of 3.6 μM against EGF receptor tyrosine kinase inhibition.

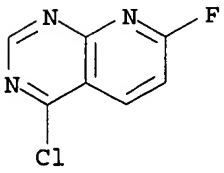
IT 175358-00-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family)

RN 175358-00-6 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 4-chloro-7-fluoro- (9CI) (CA INDEX NAME)

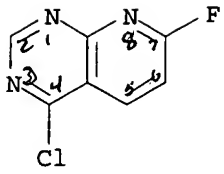


L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:202913 CAPLUS
DOCUMENT NUMBER: 124:249670
TITLE: Tyrosine Kinase Inhibitors. 10. Isomeric 4-[(3-Bromophenyl)amino]pyrido[d]pyrimidines Are Potent ATP Binding Site Inhibitors of the Tyrosine Kinase Function of the Epidermal Growth Factor Receptor
AUTHOR(S): Rewcastle, Gordon W.; Palmer, Brian D.; Thompson, Andrew M.; Bridges, Alexander J.; Cody, Donna R.; Zhou, Hairong; Fry, David W.; McMichael, Amy; Kraker, Alan J.; Denny, William A.
CORPORATE SOURCE: School of Medicine, University of Auckland, Auckland, 92019, N. Z.
SOURCE: Journal of Medicinal Chemistry (1996), 39(9), 1823-35
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Following the discovery of the very high inhibitory ability of the 4-[(3-bromophenyl)amino]quinazolines against the tyrosine kinase activity of the epidermal growth factor receptor (EGFR), four series of related pyrido[d]pyrimidines bearing electron-donating groups at the 6- or 7-positions have been synthesized and evaluated. The compds. were prepared by nucleophilic substitution of the corresponding 6- and 7-fluoro analogs. While members of all series showed potent inhibitory activity against isolated EGFR, there were important differences between the different isomeric pyrido[d]pyrimidines and the parent quinazolines. Overall, the [3,4-d] and [4,3-d] series were the most potent, followed by the [3,2-d] compds., with the [2,3-d] analogs being least active. Whereas in the parent quinazoline series the addition of steric bulk to a 6- or 7-NH2 substituent (i.e., NHMe and NMe2 groups) dramatically decreased potency, no such trend was discernable in the [3,2-d] series. Furthermore, in the 7-substituted pyrido[4,3-d]- and 6-substituted pyrido[3,4-d]pyrimidine series, and to a limited extent in the 7-substituted pyrido[2,3-d] series, such substitution increased potency dramatically, to the extent that the 7-(methylamino)pyrido[4,3-d]pyrimidine (IC50 0.13 nM) and 6-(methylamino)pyrido[3,4-d]pyrimidine (IC50 0.008 nM) constitute important new leads. Selected compds. were evaluated for their ability to inhibit EGFR autophosphorylation in A431 cells, and a pos. quant. correlation was found between this activity and inhibitory activity against the isolated enzyme.

IT 175358-00-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bromophenylaminopyridopyrimidines as ATP binding site inhibitors of the tyrosine kinase function of the epidermal growth factor receptor)

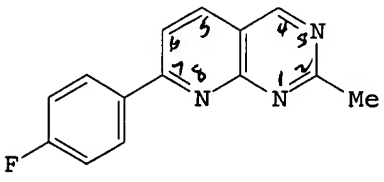
RN 175358-00-6 CAPLUS
CN Pyrido[2,3-d]pyrimidine, 4-chloro-7-fluoro- (9CI) (CA INDEX NAME)



L8 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:77293 CAPLUS
DOCUMENT NUMBER: 120:77293
TITLE: Substituted pyrido[2,3-d]pyrimidines as herbicide antidotes
INVENTOR(S): Bratz, Matthias; Kober, Reiner; Seele, Rainer; Saupe, Thomas; Meyer, Norbert; Walker, Nigel; Landes, Andreas; Walter, Helmut
PATENT ASSIGNEE(S): Germany
SOURCE: Can. Pat. Appl., 211 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

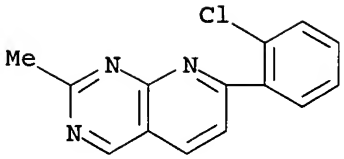
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2078469	AA	19930319	CA 1992-2078469	19920917
DE 4131029	A1	19930729	DE 1991-4131029	19910918
EP 537463	A2	19930421	EP 1992-114978	19920902
EP 537463	A3	19930526		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL				
US 5597776	A	19970128	US 1995-419518	19950410
PRIORITY APPLN. INFO.:			DE 1991-4131029 A	19910918
			US 1992-946516 B1	19920916

OTHER SOURCE(S): MARPAT 120:77293
GI



I

AB The title compds., pyrido[2,3-d]pyrimidines, and their uses in herbicides or as herbicide antidotes are claimed. For example, herbicides containing pyrido[2,3-d]pyrimidines and 2-[(4-heteroaryl)oxy]phenoxycarboxylic acid or 2-(4-aryloxy)phenoxycarboxylic acid are claimed. The use of said compds. on corn, barley, wheat, rice or millet is claimed. Condensation of 4-amino-5-formyl-2-methypyrimidine with 4-fluoroacetophenone gave the example compound 7-(4-fluorophenyl)-2-methylpyrido[2,3-d]pyrimidine (I).
IT 151326-67-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)
RN 151326-67-9 CAPLUS
CN Pyrido[2,3-d]pyrimidine, 7-(2-chlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1962:404038 CAPLUS
DOCUMENT NUMBER: 57:4038
ORIGINAL REFERENCE NO.: 57:839h-i,840a-d
TITLE: Pyrido[2,3-d]pyrimidines
INVENTOR(S): Hitchings, George H.; Robins, Roland K.
PATENT ASSIGNEE(S): Burroughs Wellcome & Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3021332		19620213	US 1958-707853	19580109
PRIORITY APPLN. INFO.:			GB	19540104

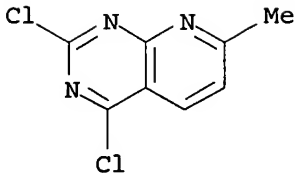
AB Continuation-in-part of U.S. 2,749,344 (CA 51, 1303i), U.S. 2,749,345 (CA 51, 1304d), and U.S. 2,697,710 (CA 50, 1093i) Addnl. compds. prepared from substituted pyrimidines and dicarbonyl reagents with 85% H3PO4 as catalystsolvent are (substituents on pyrido[2,S-d]pyrimidine and m.p. given): 2-amino-4-hydroxy-7-phenyl, above 260°; 2-amino-4-hydroxy-8-methyl-7-ethyl, 345-50°; 2-amino-4-hydroxy-6-methyl-7-butyl, above 360°; 2-amino-4-hydroxy-6-methyl-7-phenyl, 360°; 2,4-dihydroxy-5-methyI-7-phenyl, 307-9°; 2,4-dihydroxy-6-(p-bromophenyl), 360°; 2,4-dihydroxy-7-(p-tolyl), above 360°; 2,4-dihydroxy-7-(pchlorophenyl), above 860°; 2,4-dihydroxy-7-phenyl, m. 341-2°; 2,4-dihydroxy-6-methyl-7-ethyl, 218-20°; 2,4-dihydroxy-6,7-dimethyl, 329-30°; 2,4-dihydroxy-6-phenyl7-benzyl, 248-9°; 2,4-dihydroxy-6-methyl-6-butyl, 209-11°; 2,4-dihydroxy-6-methyl-7-phenyl, 247-9°; 2,4-dihydroxy-6-ethyl-7-propyl, 180-8°; 2,4-dihydroxy-6,7-tetra methylene, 306-8°; 2-mercapto-4-hydroxy-7-(p-chlorophenyl), 335-7°; 2-mercapto-4-hydroxy-7-phenyl, 310-12°; 2-mercapto-4-hydroxy-7-(p-tolyl), 219-20°; 2-mercapto-4-hydroxy-6-isopropyl-7-isobutyl, 208-9°; 2-mercapto-4-hydroxy-6-ethyl-7-propyl, 217-19°; 2-mercapto-4-hydroxy-6-methyl-7-ethyl, 238-40°; 2-mereapto-4-hydroxy-6,7-dimethyl, 300-2°; 2-mercapto-4-hydroxy-5,6,7-trimethyl, 305-7°; 2-mereapto-4-hydroxy-6-phenyl-7-benzyl, 235-6°; 2-merecapto-4-hydroxy-6-methyl-7-phenyl, 240-2°; 2-mercapto-4-hydroxy-6-methyl-7-butyl, 224-8°; 2-mercapto-4-hydroxy-6,7-tetramethylene, 252-5°; 2,4-diamino-6-ethyl-7-(p-chlorophenyl), 268-9°; 2,4-diamino-6-propyl-7-phenyl, 245-7°; 2,4-diamino-6-methyl-7-butyl, 280-3°; 2,4diamino-6-isopropyl-7-isobutyl, 269-70°; 2,4-diamino-6-butyl-7-phenyl, 292-3°; 2,4-diamino-6-propyl-7-butyl, 195-7°; 2,4-diamino-7-(p-bromophenyl), 320°; 2,4-diamino-7(p-tolyl), 328-5°; 2-mercapto-4-hydroxy-5,7-dimethyl-6ethyl, 253-5°; 2-hydroxy-4-mercapto, 294-6°; 2-chloro-4-amino, above 310°;2-chloro-4-hydroxy, above 360°; 2-anilino-4-hydroxy, 350-2°; 2-chloro-4-mercapto, 327-30°; and 2,4-dichloro-7-phenyl, 253-5°.

IT 92350-63-5, Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (preparation of)

RN 92350-63-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (6CI, 7CI) (CA INDEX

NAME)



L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1959:2113 CAPLUS
DOCUMENT NUMBER: 53:2113
ORIGINAL REFERENCE NO.: 53:398g-i,399a-i,400a-i,401a-e
TITLE: Studies on condensed pyrimidines systems. XIX. A new synthesis of pyrido[2,3-d]pyrimidines. The condensation of 1,3-diketones and 3-oxoaldehydes with 4-aminopyrimidines
AUTHOR(S): Robins, Roland K.; Hitchings, Geo. H.
CORPORATE SOURCE: Wellcome Research Labs., Tuckahoe, NY
SOURCE: Journal of the American Chemical Society (1958), 80, 3449-57
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 53:2113

AB cf. C.A. 51, 1202i. A series of 2,4-disubstituted pyrido[2,3-d]pyrimidines was prepared by the condensation of 1,3-diketones and 3-oxoaldehydes with the appropriate 4-aminopyrimidines in the presence of 85% H3PO4. The products obtained from the 3-oxoaldehydes were 7-substituted pyrido[2,3-d]pyrimidines, indicating that the CHO group condensed with the 5-position of the pyrimidine ring. Several 4-hydroxypyrido[2,3-d]pyrimidines were prepared from the corresponding 2-mercapto-4-hydroxy derivs. with Raney Ni. Na (20 g.) dissolved in 300 cc. absolute EtOH, the solution evaporated to near dryness in vacuo on the steam bath, the residue cooled, diluted with 100 cc. dry Et2O, treated dropwise with stirring with 100 g. Pr2CO and 64.9 g. HCO2Et, kept at room temperature overnight, extracted with 400 cc. cold H2O, the aqueous extract washed with 200 cc. Et2O, acidified with dilute AcOH, extracted with Et2O, and the extract worked up gave 100.4 g. EtCH(CHO)COPr, b15 70-5°. The appropriate 4-aminopyrimidine (0.1 mole) and 0.1 mole 1,3-diketone or 3-oxoaldehyde in 150 cc. 85% H3PO4 heated 3-5 hrs. on the steam bath, diluted with 4-5 vols. H2O, and cooled gave the corresponding pyrido[2,3-d]pyrimidines. 4-Amino-2,6-dihydroxypyrimidine (15.0 g.) added slowly with shaking to 150 cc. 85% H3PO4, heated on the steam bath to solution, cooled to room temperature, treated carefully with 18.7 g. Na salt of BzCH2CHO, heated 3 hrs. on the steam bath, poured into 500 cc. H2O, filtered, the residue washed with H2O, suspended in 300 cc. boiling EtOH, filtered off, digested again in 300 cc. hot EtOH, and recrystd. from glacial AcOH yielded 3.2 g. 2,4-dihydroxy-7-phenylpyrido[2,3-d]pyrimidine (I), light yellow needles, m. 341-2°. Similarly were prepared the following substituted 2,4-dihydroxypyrido[2,3-d]pyrimidines (5-, 6-, and 7-substituents, % yield, and m.p. given): Me, H, Me, 58, 304-5°; Me, H, Ph, 17, 308-10°; H, Me, Ph, 39, 247-9°; H, Et, Pr, 28, 188-90° (EtOH); H, H, p-ClC6H4, 13, above 360°; H, Me, Bu, 22, 209-11° (aqueous AcOH); H, Ph, PhCH2, 24 (using 1/3 polyphosphoric acid and 2/3 85% H3PO4), 248-9°; H, Me, Et, 28, 218-20° (EtOH); H, H, p-MeC6H4, 14, above 360°; H, H, p-BrC6H4, 61, above

360°; H, Me, Me, 29, 329-30°; H, Et, Ph, 26, 231-3°
(EtOH). All compds. were recrystd. from AcOH except where noted.
6-Amino-4-hydroxy-2-mercaptopyrimidine (35.8 g.) added in small portions
with stirring to 300 cc. 85% H3PO4, heated to solution, treated with 25.0 g.
Ac2CH2, heated 3.5 hrs. on the steam bath, poured into 600 cc. cold H2O,
kept 20 min., filtered, the residue washed with H2O, suspended in 300 cc.
boiling H2O, treated with concentrated aqueous NaOH and C, filtered hot,
cooled,
filtered, the residue washed with a little iced H2O and dissolved in hot
H2O, and the solution acidified with AcOH yielded 37.0 g.
4-hydroxy-2-mercapto-5,7-dimethylpyrido[2,3-d]pyrimidine (II), m.
287-8° (EtOH). Similarly were prepared the following substituted
2-mercapto-4-hydroxypyrido[2,3-d]pyrimidines (III) (5-, 6-, and
7-substituents, % yield, and m.p. given): H, iso-Pr, iso-Bu, 14,
208-9°; H, Et, Pr, 37, 217-19°; H, Me, Et, 45,
238-40°; H, Me, Ph (IV), 46, 241-2°; H, Ph, PhCH2, 21 (using
1/3 polyphosphoric acid and 2/3 85% H3PO4), 235-6°; H, Me, Me (V),
35, 300-2° (AcOH); Me, Me, Me, 7, 305-7°; H, H, p-ClC6H4,
13, 335-7° (AcOH); H, H, Ph (VI), 26, 310-12° (AcOH); H, H,
1-C10H7, 6 (using 1/3 polyphosphoric acid and 2/3 85% H3PO4),
340-2° (AcOH); Me, Pr, Me, 3, 230-1°; Me, Et, Me, 2,
253-5°; H, Et, Ph, 49, 212-13°; H, H, p-BrC6H4, 42,
334-5° (AcOH); H, Me, Bu, 29, 225-8°; H, H, iso-Bu, 29,
210-11° (aqueous EtOH); H, H, p-MeC6H4, 29, 219-20° (AcOH). All
III were recrystd. from EtOH except where noted. 2,4-Diamino-6-hydroxypyr
imidine (15 g.) in 150 cc. 85% H3PO4 treated slowly with cooling with 14.6
g. Na salt of EtCOCHMeCHO, heated 5 hrs. on the steam bath, poured into 1
l. cold H2O, neutralized with concentrated NH4OH, filtered, the residue washed
with H2O, suspended in 300 cc. hot H2O, treated with sufficient N NaOH to
effect solution, warmed with a little C, filtered hot, acidified with dilute
AcOH, and the residue washed and dried at 130° yielded 19.5 g.
2-amino-7-ethyl-4-hydroxy-6-methylpyrido[2,3-d]pyrimidine (IV), m.
345-50°. IV dissolved in hot EtOH previously saturated with dry HCl,
cooled overnight, and filtered gave 81% IV.HCl, m. 335°
(decomposition)(absolute EtOH). Similarly were prepared the following
substituted
2-amino-4-hydroxypyrido[2,3-d]pyrimidines (5-, 6-, and 7-substituents, %
yield, and m.p. given): Me, H, Me, 43, above 360°; H, H, Ph, 24,
above 360°; H, Me, Bu, 29, - (HCl salt, m. 225-30°); H, Me,
Ph, 52, - (HCl salt, m. above 360°). 2,4,6-Triaminopyrimidine (31
g.) in 250 cc. 85% H3PO4 heated 4 hrs. on the steam bath with 44 g.
BzCHEtCHO, poured into 1500 cc. H2O, stirred with C, filtered, neutralized
with concentrated NH4OH to pH 7, filtered, the residue washed with H2O,
suspended in 200 cc. hot H2O, basified strongly with aqueous NaOH, heated on
the steam bath with occasional stirring, cooled and filtered, and the
residue washed with H2O and recrystd. from aqueous EtOH containing a little
NaOH
yielded 14.4 g. 2,4-diamino-6-ethyl-7-phenylpyrido[2,3-d]pyrimidine, m.
283-5° (absolute EtOH). Similarly were prepared the following
substituted 2,4-diaminopyrido[2,3-d]pyrimidines (5-, 6-, and
7-substituents, % yield, and m.p. given): Me, H, Me, 3, 305-6°; H,
H, Ph, 25, 289-90°; H, Me, Ph, 10, 287-90°; Ph, H, Ph, 1,
288-90°; H, Me, Et, 20, 304-5°; H, H, Me, 1, 315°
(decomposition); H, Me, Me, 13, 350-60° (decomposition); H, H, p-ClC6H4, 11,
311°; H, H, p-BrC6H4, 5, 320°; H, Et, p-ClC6H4, 20,
258-9°; H, Pr, Ph, 15, 245-7°; H, Me, Bu, 8, 275-8°
(decomposition); H, Pr, Bu, 9, 195-7°; H, H, p-MeC6H4, 5, 323-5°;
H, H, iso-Bu, 7, 302-4°. All compds. were recrystd. from EtOH or
aqueous EtOH. The appropriate III (5-10 g.) suspended in 1500-2000 cc. EtOH,
treated with 100-200 cc. concentrated NH4OH, warmed on the steam bath to
solution,
treated with 3 g. wet Raney Ni W-5/g. III, refluxed 5-7 hrs., filtered
hot, the residue washed with 300 cc. boiling H2O, the combined filtrates
concentrated in vacuo to about 50-150 cc., acidified with dilute AcOH and
cooled,

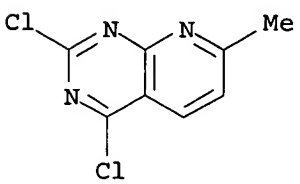
and the deposit filtered off and recrystd. gave the corresponding substituted 4-hydroxypyrido[2,3-d]pyrimidine (VII). IV (6 g.) added to 1800 cc. 95% EtOH and 150 cc. concentrated NH4OH, the mixture treated with about 18-20 g. Raney Ni, refluxed 6 hrs., filtered, the residue washed with 300 cc. boiling 95% EtOH, the combined filtrates concentrated in vacuo to about 100 cc., the hot solution adjusted with dilute AcOH to pH 5 and cooled, and the precipitate (4.4 g.) recrystd. from aqueous EtOH yielded 4-hydroxy-6-methyl-7-phenylpyrido[2,3-d]pyrimidine, m. 248-50°. Similarly were prepared the following VII (5-, 6-, and 7-substituents, % yield, and m.p. given): Me, H, Me, 83, 327-9°; H, Ph, PhCH2, 68, 239-40°; H, Me, Et, 80, 272-3°; H, Me, Me, 69, above 350°; H, Et, Pr, 80, 224-5°; H, Me, Bu, 76, 219-20°; H, H, p-ClC6H4, 64, 348-9°; H, H, iso-Bu, 66, 248-50°; H, H, Ph, 44, 260-3°; H, H, p-MeC6H4, 74, 312-15°; H, Et, Ph, 58, 224-6°. 2-Amino-6-methylnicotinic acid (VIII)(20 g.) fused with 45 g. urea, kept 10 min. at 180-200°, heated during 15 min. to 220° dissolved in 350 cc. hot 4N NaOH, treated with C, filtered hot, saturated with CO2, and cooled gave 14.6 g. (crude) 2,4-dihydroxy-7-methylpyrido[2,3-d]pyrimidine (IX), m. 314-15° (glacial AcOH). IX (10.0 g.) refluxed 2.5 hrs. with 250 cc. POCl3 and evaporated in vacuo, the sirupy residue poured onto ice, kept 10-15 min., extracted with CHCl3, and the extract worked up gave 1.7 g. 2,4-di-Cl analog (X) of IX, orange plates, m. 165-9° (heptane). Crude X (1.2 g.) and 20 cc. alc. NH3 (saturated at 0°) heated overnight in a sealed tube at 155°, evaporated on the steam bath, treated with 30 cc. 2N NaOH, and refrigerated overnight yielded 0.5 g. 2,4-di-NH2 analog (XI) of IX, m. 315° (decomposition)(aqueous EtOH). 4-Me derivative (9.0 g.) of VIII, m. 258-9°, and 18.0 g. urea fused in the usual manner, the crude product recrystd. from glacial AcOH, and dried at 140° gave 3.6 g. 5-Me derivative (XII) of IX, needles, m. 304-6°. ClCH2CO2H (2.5 g.) in 15 cc. H2O added to 2.5 g. II and evaporated on the steam bath, the residue dissolved in 10 cc. 10N HCl, refluxed 3 hrs., diluted to 500 cc., neutralized with concentrated NH4OH, filtered, and the residue recrystd. from glacial AcOH yielded 1.4 g. XII, m. 304-6°. 5-Me derivative (5 g.) of IX refluxed 2.5 hrs. with POCl3 and worked up in the usual manner yielded 0.6 g. 5-Me derivative (XIII) of X, m. 154-5°. XIII (0.4 g.) treated with alc. NH3 in the usual manner at 155° yielded 0.3 g. 5-Me derivative of XI, needles, m. 305-6°. 5-Me derivative (3 g.) of VIII fused with 9 g. urea and the crude product recrystd. from glacial AcOH yielded 1.1 g. 6-Me derivative (XIV) of IX, yellow needles, m. 329-30°. V (1 g.) treated in the usual manner with ClCH2CO2H yielded 0.5 g. XIV. XIV (2 g.) refluxed with POCl3 and the crude product treated with alc. NH3 gave 1.0 g. 6-Me derivative of XI, light orange needles, m. 350-60° (decomposition)(aqueous EtOH). 2-Amino-6-phenylnicotinic acid (XV)(200 mg.), m. 240°, and 1.0 g. urea heated 15 min. at 180-200°, cooled, dissolved in 2N NaOH, and acidified with AcOH yielded 40 mg. I, needles, m. 340-1° (glacial AcOH). VI (1 g.) added to 15 g. ClCH2CO2H and 10 g. H2O and evaporated on the steam bath, the residue dissolved in 75 cc. 10N HCl, the solution refluxed 3 hrs., diluted to 500 cc., neutralized with concentrated NH4OH, and filtered gave 0.5 g. I, m. 341-2°. 2-Amino-4-hydroxy-7-phenylpyrido[2,3-d]pyrimidine (1 g.) dissolved in 500 cc. boiling 5N H2SO4, the hot solution added to 3.6 g. NaNO2 in 10 cc. H2O, the mixture reheated to boiling, allowed to stand overnight, filtered, the filtrate neutralized with NH4OH, and the precipitate filtered off gave 0.2 g. I. I (4.5 g.) and 150 cc. POCl3 refluxed 24 hrs. and evaporated in vacuo, the sirupy residue poured onto crushed ice, the aqueous suspension extracted with CHCl3, and the extract worked up yielded 5.1 g. 2,4-di-Cl analog (XVI) of I, m. 204-6° (heptane). XVI (1.5 g.) and 25 cc. alc. NH3 (saturated at 0°), heated 15 hrs. at 155° in a sealed tube, concentrated to 10 cc., and extracted with dilute aqueous NaOH yielded 0.9 g. 2,4-di-NH2 analog of I, light green needles, m.

289-90° (aqueous EtOH). 2-Mercapto-4-hydroxy-6-aminopyrimidine (10 g.) in 100 cc. 85% H_3PO_4 treated with cooling with 11.4 g. Na salt of formylcyclohexanone, heated 2 hrs. on the steam bath, poured into 800 cc. cold H_2O, the crude product dissolved in hot 2N NaOH, treated with C, filtered, acidified while still hot with AcOH, and the precipitate recrystd. from glacial AcOH yielded 6.2 g. 2-mercapto-4-hydroxy-6,7,8,9-tetrahydropyrimido[4,5-b]quinoline (XVII), light green needles, m. 252-5°. 2,6-Dihydroxy-4-aminopyrimidine (44 g.) in 400 cc. 85% H_3PO_4 treated slowly with 52.0 g. Na salt of formylcyclohexanone, heated 5 hrs. on the steam bath, poured into 1500 cc. H_2O, allowed to stand, the crude product dissolved in dilute aqueous NaOH, and the solution treated with C and acidified with AcOH gave 21.0 g. 2-OH analog (XVIII) of XVII, tan needles, m. 306-8° (glacial AcOH). 2-Amino-5,6,7,8-tetrahydroquinoline-3-carboxylic acid (3 g.), m. 292°, heated with 8 g. urea at 180-200°, cooled, dissolved in hot dilute NaOH, and acidified hot with 95% EtOH gave 1.4 g. XVIII. XVII (1 g.) added to 15 g. $ClCH_2CO_2H$ in 10 cc. H_2O and evaporated on the steam bath, the residue refluxed 3 hrs. with 25 cc. 10N HCl, diluted to 500 cc., neutralized with NH_4OH, and the precipitate filtered off gave 0.3 g. XVIII. 4-Me derivative (1 g.) of XV, m. 265°, fused with 4 g. urea, extracted with base, and acidified with AcOH gave 0.5 g. (crude) 5-Me derivative of I, needles, m. 308-10°. 4-Me derivative (6 g.) of VIII and 12 g. $HCONH_2$ heated 1.5 hrs. at 160-5°, cooled, added to 50 cc. H_2O, allowed to stand, and the crude brown product dissolved in hot 50% EtOH and treated with C gave 3.2 g. 5-Me derivative of 4-hydroxy-7-methylpyrido[2,3-d]pyrimidine (XIX), m. 327-9°. VIII (6 g.) and 12 g. $HCONH_2$ heated 2.5 hrs. at 170-80° gave similarly 3.4 g. XIX, slightly yellow needles, m. 309-11° (H_2O). 6-Aminouracil (10 g.) dissolved with warming in 70 cc. 85% H_3PO_4 and 40 cc. polyphosphoric acid, treated with 20 cc. com. $(MeO)_2CHCH_2CH(OEt)OMe$, heated 4.5 hrs. on the steam bath, diluted with 500 cc. H_2O, kept at 5° overnight, filtered, the residue suspended in 500 cc. hot H_2O, dissolved with 40 cc. 2N NaOH, treated with C, filtered, treated hot with 10 cc. AcOH, filtered, and the residue washed with Me_2CO and air-dried gave 9 g. about 70%-pure 2,4-dihydroxypyrimido[2,3-d]pyrimidine. The ultraviolet absorption spectra of the pyrido[2,3-d]pyrimidines (tabulated) are in general primarily dependent on the nature of the substituents in the 2-and 4-position. Aryl groups in the 7-position usually cause some bathochromic shift. Alkyl groups in the 5-, 6-, and 7-positions usually cause a small shift in the maximum The introduction of an alkyl substituent into the 7-position of 2,4-diaminopyrido[2,3-d]pyrimidines gives rise to a new maximum at pH 1 at approx. 360-70 mμ; this peak is absent at pH 11. The biol. activities of the pyridopyrimidines closely resemble those of related condensed pyrimidine systems; the diamino derivs. show antifolic acid activity of varying degrees and selectivity, which is manifest in antimalarial and antibacterial activities closely resembling those of the 2,4-diamino-6,7-dialkylpteridines.

IT 92350-63-5, Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (preparation of)

RN 92350-63-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (6CI, 7CI) (CA INDEX NAME)



L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1958:11442 CAPLUS
DOCUMENT NUMBER: 52:11442
ORIGINAL REFERENCE NO.: 52:2097h-i,2098a-c
TITLE: Pyrimidines
PATENT ASSIGNEE(S): Wellcome Foundation Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 774094		19570508	GB 1953-35810	19531223

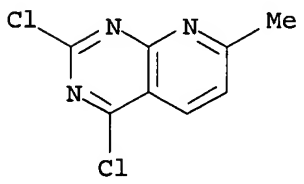
GI For diagram(s), see printed CA Issue.

AB N:CH.N:CX.C:C.CR1:CR2.CR3:N (I), useful as intermediates and inhibitors of microorganisms, were prepared, where R1, R2, and R3 are H, alkyl groups of 1-8 C atoms, aralkyl, or monocyclic aryl groups, and X is Cl, SH, OH, NH2, substituted NH2, or NHNH2. 2-Amino-nicotinic acid (50 g.) and 100 g. HCONH2 heated 2.5 hrs. at 165-70° (internal temperature) in an oil bath, the mixture cooled, and the solid recrystd. from 700 ml. H2O gave 37.5 g. I (R1 = R2 = R3 = H, X = OH) (II), m. 258° (H2O). II (20.0 g.) added to 300 ml. POCl3, the solution refluxed 1 hr., excess POCl3 distilled, the sirupy residue poured onto ice, and the product extracted with CHCl3 gave 9.8 g. 4-Cl derivative (III), m. 137-8° (decomposition) (heptane). III (5.0 g.) added to 50 ml. concentrated NH4-OH, the solution heated 45 min. on a steam bath, the solution decolorized with Norite, filtered, the filtrate cooled in an ice-salt bath, saturated with NH3, and the precipitate filtered off gave 3.0 g. 4-NH2 derivative (IV), needles, m. 301-2° (95% Me2CHOH-H2O). 2-Mercapto-4-aminopyrido [2,3-d] pyrimidine (300 mg.) in 800 ml. EtOH and 50 ml. concentrated NH4OH refluxed 3 hrs. with 1 g. Raney Ni, the solution filtered, the filtrate evaporated to dryness on a steam bath, the residue extracted with 50 ml. H2O, and the aqueous extract evaporated gave 60 mg. IV. Similarly were prepared the following I (R1, R2, R3, X, and m.p. given): H, H, H, NHPh, 256-7° (95% EtOH); H, H, H, NHNH2, 164-6° (absolute EtOH); H, H, H, SH, -; H, H, Me, Cl, -; H, H, Me, NH2, -; H, H, H, NEt2, 72-3° (hexane); H, Me, Me, OH, 272-3°; H, Et, Pr, OH, 224-5°; H, Me, Bu, OH, 219-20°; H, H, CH2CHMe2, OH, 248-50°; H, Me, Ph, OH, 248-50°; H, H, Ph, OH, 259-63°; H, Et, Ph, OH, 224-6°; H, H, C6H4Me-p, OH, 312-15°; H, Ph, CH2-Ph, OH, 239-40°; Me, H, Me, OH, 327-9°.

IT 92350-63-5, Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (preparation of)

RN 92350-63-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (6CI, 7CI) (CA INDEX NAME)



L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1958:11441 CAPLUS
DOCUMENT NUMBER: 52:11441

ORIGINAL REFERENCE NO.: 52:2097a-h
TITLE: Pyrimidine compounds
PATENT ASSIGNEE(S): Wellcome Foundation Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 774095		19570508	GB 1954-174	19540104

GI For diagram(s), see printed CA Issue.

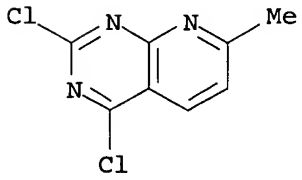
AB N:CY.N:CX.CH:CH.CR1:CR2.CR3:N (I), useful as intermediates and as inhibitors of microorganisms, were prepared, where X and Y are NH2, alkyl- and dialkylamino groups of 1-5 C atoms, arylamino, and SH, and X may also be OH, R1 is H, an alkyl of 1-5 C atoms, or Ph, R2 and R3 are H, alkyls of 1-5 C atoms, aralkyl, or monocyclic aryl groups or R2 and R3 together are trimethylene or tetramethylene, and when R1, R2, and R3 are other than H, Y may also be OH. 2,4,6-Triaminopyrimidine (5 g.), 3 g. Ac2CH2, and 25 ml. 85% H3PO4 heated 5 hrs. on a steam bath, the solution diluted to 250 ml., adjusted to pH 9 with concentrated NH4OH, and the warm solution let stand gave I (X = Y = NH2, R1 = R3 = Me, R2 = H), needles, m. 293-5° (decomposition). Similarly were prepared from the appropriate pyrimidine and the appropriate β-diketone or β-oxoaldehyde the following I (X, Y, R1, R2, R3, and m.p. given): NH2, OH, Me, H, Me, 360°; OH, OH, Me, H, Me, -; NH2, NH2, H, Me, Ph, 287-90° (absolute EtOH); NH2, NH2, H, Me, Et, 304-5°; NH2, NH2, H, H, C6H4Cl-p, 311°; NH2, NH2, H, H, Ph, 289-90°; NH2, NH2, Ph, H, Ph, 288-90°; OH, OH, Me, Me, Me, 308-10°; NH2, NH2, H, Et, Ph, 281-2°; NH2, NH2, H, Et, Pr, 197° (EtOH); NH2, NH2, Me, Me, Me, 314°; NH2, NH2, H, Me, Me, above 350°; SH, OH, Me, H, Me, 285°; NH2, NH2, H, [R2R3 = (CH2)3], above 360°; NH2, NH2, H, [R2R3 = (CH2)4], -; NH2, OH, H, H, Ph, above 360°; NH2, OH, H, Me, Et, 345-50°; NH2, OH, H, Me, Bu, above 360°; NH2, OH, H, Me, Ph, 360°; OH, OH, Me, H, Ph, 307-9°; OH, OH, H, H, C6H4Br-p, 360°; OH, OH, H, H, C6H4Me-p, above 360°; OH, OH, H, H, C6H4Cl-p, above 360°; OH, OH, H, H, Ph, 341-2°; OH, OH, H, Me, Et, 218-20°; OH, OH, H, Me, Me, -; OH, OH, H, Ph, CH2Ph, 248-9°; OH, OH, H, Me, Bu, 209-11°; OH, OH, H, Me, Ph, 247-9°; OH, OH, H, Et, Pr, 186-8°; OH, OH, H, [R2R3 = (CH2)4], 306-8°; SH, OH, H, H, C6H4Cl-p, 335-7°; SH, OH, H, H, Ph, 310-12°; SH, OH, H, H, C6H4Me-p, 219-20°; SH, OH, H, CHMe2, CH2CHMe2, 208-9°; SH, OH, H, Et, Pr, 217-19°; SH, OH, H, Me, Et, 238-40°; SH, OH, H, Me, Me, 300-2°; SH, OH, Me, Me, Me, 305-7°; SH, OH, H, Ph, CH2Ph, 235-6°; SH, OH, H, Me, Ph, 240-2°; SH, OH, H, Me, Bu, 224-8°; SH, OH, H, [R2R3 = (CH2)4], 252-5°; NH2, NH2, H, Et, C6H4Cl-p, 258-9°; NH2, NH2, H, Pr, Ph, 245-7°; NH2, NH2, H, Me, Bu, 280-3°; NH2, NH2, H, CHMe2, CH2CHMe2, 269-70°; NH2, NH2, H, Bu, Ph, 292-3°; NH2, NH2, H, Pr, Bu, 195-7°; NH2, NH2, H, H, C6H4Br-p, 320°; NH2, NH2, H, H, C6H4Me-p, 323-5°; SH, OH, Me, Et, Me, -. Other compds. reported: I(R1 = R2 = R3 = H, X = Y = NH2), needles, m. 356° (decomposition); I (R1 = R2 = R3 = H, X = Cl, Y = OH), tan needles, m. above 360°; I (R1 = R2 = R3 = H, X = NH2, Y = OH), m. above 360°; I (R1 = R2 = R3 = H, X = Y = SH); I (R1 = R2 = R3 = H, X = SH, Y = NH2), yellow-green needles; I (R1 = R2 = R3 = H, Y = Cl, X = NH2), decompose 310°; I (R1 = R2 = R3 = H, Y = OH, X =SH), m. 355-6°; I (R1 = R2 = R3 = H, X = Y = NHPh), light yellow-green needles, m. 235-7°; I (R1 = R2 = R3 = H, X = Y = NMe2), m. 97-9° (Skellysolve C); I (R1 = R2 = R3 = H, Y = OH, X = NHPh), yellow-green needles, m. 350-2° (HOAc); I (R1 = R2 = H, R3 = Me, X = Y = OH), m. 314-15° (HOAc); I (R1 = R2 = H, R3 = Me, X = Y = Cl), m. 164-9° (heptane); I (R1 = R2 = H, R3 = Me, X = Y = NH2), yellow

needles, m. 315° (decomposition) (EtOH-H2O); I (R1 = H, R2 = R3 = Me, X = Y = OH); I (R1 = H, R2 = R3 = Me, Y = OH, X = SH), m. 300-2°; I (R1 = Me, R2 = H, R3 = Ph, X = Y = OH), m. 307-9°.

IT 92350-63-5, Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (preparation of)

RN 92350-63-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (6CI, 7CI) (CA INDEX NAME)



L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1956:4976 CAPLUS

DOCUMENT NUMBER: 50:4976

ORIGINAL REFERENCE NO.: 50:1093h-i,1094a-b

TITLE: Pyrido[2,3-d]pyrimidines

INVENTOR(S): Hitchings, Geo. H.; Robins, Roland K.

PATENT ASSIGNEE(S): Burroughs Wellcome & Co. (U.S.A.) Inc.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

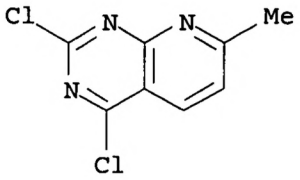
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2697710		19541221	US 1953-329475	19530102

AB Pyrido[2,3-d]pyrimidines, of pharmaceutical value, containing a HS, PhO, H2N, or a substituted amino group in positions 2 and 4 and either H or an alkyl group in position 7 and where the substituted group in position 1 includes a HO group and where position 4 contains a Cl group, are prepared by treating 2,4-dichloropyrido[2,3-d]pyrimidine (I) with suitable reagents. Thus, 6.5 g. of I is added to 20 ml. of absolute EtOH, saturated at 0° with dry NH3, and heated in a bomb at 150° for 12 hrs. The resulting solution is treated with 30 ml. of H2O and 10 ml. of 2N NaOH and gently warmed on a steam bath, then cooled 5 hrs. in a refrigerator. The precipitate is filtered, water-washed, and recrystd. from 500 ml. 50% EtOH-H2O mixture to which 0.5 ml. of 2N NaOH is added. The chilled solution yields 3.9 g. 2,4-diaminopyrido[2,3-d]pyrimidine, m. 356° (decomposition). Other substituted pyrido[2,3-d]pyrimidines described are (substituents given): 2-chloro-4-hydroxy, m. above 360°; 2-amino-4-hydroxy, m. above 360°; 2-chloro-4-amino, m. 310° (decomposition); 2,4-dimercapto, m. above 360°; 2-mercapto-4-amino; 2-mercapto-4-hydroxy, m. 355-6°; 2,4-diphenoxy, m. 203-5°; 2,4-bis(dimethylamino), m. 97-9°; 2,4-dihydrazino, m. 348-50° (decomposition); 2-amino-4-hydroxy, m. 350-2°; 2,4-dihydroxy-7-methyl, m. 314-15°; 2,4-dichloro-7-methyl, m. 164-9°; and 2,4-diamino-7-methyl, m. 315° (decomposition).

IT 92350-63-5, Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (preparation of)

RN 92350-63-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine, 2,4-dichloro-7-methyl- (6CI, 7CI) (CA INDEX NAME)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